

TRANSLATION

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 0000055119	FOR FURTHER ACTION		See Form PCT/IPEA/416
International application No. PCT/EP2004/013615	International filing date (<i>day/month/year</i>) 01.12.2004	Priority date (<i>day/month/year</i>) 03.12.2003	
International Patent Classification (IPC) or national classification and IPC C07D239/56, C07D239/64			
Applicant BASF Aktiengesellschaft			

<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 6 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input checked="" type="checkbox"/> (<i>sent to the applicant and to the International Bureau</i>) a total of 7 sheets, as follows:</p> <p><input checked="" type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (<i>sent to the International Bureau only</i>) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the report</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII Certain observations on the international application</p>

Date of submission of the demand	Date of completion of this report
Name and mailing address of the IPEA/EP	Authorized officer
Facsimile No.	Telephone No.

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Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

This report is based on translations from the original language into the following language _____, which is the language of a translation furnished for the purposes of:

 - international search (Rule 12.3 and 23.1(b))
 - publication of the international application (Rule 12.4)
 - international preliminary examination (Rule 55.2 and/or 55.3)
2. With regard to the **elements** of the international application, this report is based on (*replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report*):

the international application as originally filed/furnished
 the description:
 pages 1-38 _____ as originally filed/furnished
 pages* _____ received by this Authority on _____
 pages* _____ received by this Authority on _____
 the claims:
 nos. _____ as originally filed/furnished
 nos.* _____ as amended (together with any statement) under Article 19
 nos.* 1-16 received by this Authority on 03.09.2005 with letter of 30.08.2005
 nos.* _____ received by this Authority on _____
 the drawings:
 sheets _____ as originally filed/furnished
 sheets* _____ received by this Authority on _____
 sheets* _____ received by this Authority on _____
 a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.
3. The amendments have resulted in the cancellation of:

the description, pages _____
 the claims, nos. 7 _____
 the drawings, sheets/figs _____
 the sequence listing (*specify*): _____
 any table(s) related to sequence listing (*specify*): _____
4. This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

the description, pages _____
 the claims, nos. _____
 the drawings, sheets/figs _____
 the sequence listing (*specify*): _____
 any table(s) related to sequence listing (*specify*): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1–16	YES
	Claims		NO
Inventive step (IS)	Claims	1–16	YES
	Claims		NO
Industrial applicability (IA)	Claims	1–16	YES
	Claims		NO

2. Citations and explanations (Rule 70.7)

Reference is made to the following documents:

D1: DE 197 41 411 A1 (NOVARTIS AG, BASEL, CH) 26 March 1998

D2: US-A-5 169 430 (STRUNK ET AL) 8 December 1992

D3: EP-A-0 545 206 (BAYER AG) 9 June 1993

D4: WO 03/097589 A1 (BASF AG, GERMANY) 27 November 2003

D5: WO 03/024221 A1 (BASF AG) 27 March 2003

D6: WO 01/83459 A (BASF AKTIENGESELLSCHAFT) 8 November 2001

D7: EP-A-0 831 091 (NOVARTIS AG) 25 March 1998

D8: A. M. KAMAL EL-DEAN AND M.E.ABDEL-MONEAM:
 "synthesis of pyrimidines, thienopyrimidines
 and pyrazolopyrimidine" J. OF CHINESE CHEM.
 SOC, Vol. 49, 2002, pages 1057–1060,
 XP009046134

1. Novelty (PCT Article 33(2)):

The present application discloses a method for producing 3-phenyl(thio)uracils and 3-phenyldithiouracils by reacting a phenyliso(thio)cyanate II with an enamine III.

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D1-D3, D7 and D8 also describe the reaction of an enamine with a phenyliso(thio)cyanate for producing 3-phenyliso(thio)uracils; however, the phenyliso(thio)cyanate compound does not contain the acylsulfonamide group.

In claims 16 and 17 in D4, 3-phenyl(thio)uracils and 3-phenyldithiouracils are produced by reacting a sulfamide acid amide with a 3-uracil benzoic acid derivative.

D5 and D6 describe a method for producing 3-phenyliso(thio)uracils and 3-phenyldithiouracils by substituting a halogen atom with a uracil-, thiouracil or dithiouracil group or by reacting an aniline compound with an oxazinone, followed by the alkylation of the 3-phenyluracil obtained.

The requirements of PCT Article 33(2) are therefore met.

2. Inventive step (PCT Article 33(3)):

The technical problem addressed in the present application can be considered that of developing an improved method for preparing 3-phenyl(thio)uracils and 3-phenyldithiouracils which achieves a high yield and high degree of purity and makes the desired product accessible in a simple and economic manner. D2 and D7 describe the production of 3-phenyluracils by reacting a phenylisocyanate with an enamine, though the phenylisocyanate compound carries a sulfonamide group instead of the acylsulfonamide group.

A person skilled in the art would therefore readily use the method known from D2 (D7) in producing the compounds as per the invention and would arrive in this way at the method as per claim 1, without thereby being inventive.

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However, the applicant has shown in the letter of 30 August 2005 in a report of an experiment that the compounds as per the invention cannot be produced using the method disclosed in D7 (in which a catalytic amount of base is used) or in the method disclosed in D2 (equimolar amount of base used).

Whilst in D7 a catalytic amount of base is used, and in D2 an approximately equimolar amount of base, in the claimed method, a large excess of 1.8 to 2.6 base equivalent is used.

Neither D7 nor D2 suggests that the desired phenyluracils can be produced by an excess of base.

The subject matter of claim 1 can be deemed inventive, since it has been shown that the claimed method, in which a corresponding large excess of 1.8 to 2.6 base equivalent is used, leads surprisingly to the compounds as per the invention, in contrast to the methods from D2 or D7.

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Supplemental Box

In case the space in any of the preceding boxes is not sufficient.

Continuation of: I

In the letter of 30 August 2005, the applicant submitted an amended set of claims:

In claim 1, the feature "in the presence of 1.8 to 2.6 base equivalent per mole of the phenyliso(thio)cyanate of the formula II" was added.

The basis for this amendment can be found on page 17, line 21 of the original disclosure. This feature was presented as essential to the functioning of the invention, taking into consideration the technical problem it is intended to solve.

Claim 7 was deleted and the numbering and back references of the remaining claims amended accordingly.

The subject matter of the application does not go beyond the content of the application as originally filed (PCT Article 19(2) / PCT Article 34(2)(b)).